

Claims

1. A composition comprising the carboxylate form of a camptothecin
5 drug associated with at least one organic cationic molecule having a positive net charge wherein the molar ratio of the organic cationic molecule to the carboxylate and is at least about 1:1 wherein said composition is substantially free of the lactone form of said camptothecin.
- 10 2. The composition of claim 1 wherein said organic cationic molecule is a cationic amphiphile and/or a cationic polymer.
- 15 3. The composition of claim 1 or 2, wherein said cationic amphiphile is selected from lipids, lysolipids or pegylated lipids, preferably having a tertiary amino or quaternary ammonium group such as N-[1-(2,3-diacyloxy)propyl]-N,N-dimethylamine or N-[1-(2,3-diacyloxy)propyl]-N,N,N-trimethyl ammonium.
- 20 4. The composition of any one of claims 1 to 3, wherein said cationic polymer is a polyelectrolyte, acid such as polyallylamine or polyethylene imine, a polymeric sugar or a polyamine with a molecular weight between about 5 and about 500 kDa.
- 25 5. The composition of any one of the claims 1 to 4, further comprising at least one anionic and/or neutral amphiphile.
- 30 6. The composition of any one of claims 1 to 5, wherein said anionic and/or neutral amphiphile is selected from sterols or lipids such as cholesterol, phospholipids, lysolipids, lysophospholipids, sphingolipids or pegylated lipids with a negative or neutral net change.

- 67 -

7. The composition of any one of the claims 5 to 6, wherein the neutral amphiphile is diacylphosphatidylcholine.
8. A colloidal nanoaggregate comprising a composition of any one of the claims 1 to 7.
9. The nanoaggregate of claim 8 having an overall positive charge.
10. The nanoaggregate of claim 8 or 9, further comprising at least one amphiphile which has a negative and/or neutral net charge (anionic and/or neutral amphiphile).
11. The nanoaggregate of any one of the claims 8 to 10, wherein said anionic and/or neutral amphiphile is selected from sterols or lipids such as cholesterol, phospholipids, lysolipids, lysophospholipids, sphingolipids or pegylated lipids with a negative or neutral net change.
12. The nanoaggregate of any one of the claims 8 to 11, wherein the neutral amphiphile is diacylphosphatidylcholine.
13. The nanoaggregate of any one of the claims 8 to 12, comprising an excess of positively charged moieties of at least about 20 %, preferably at least about 30 % and most preferably at least about 40 % in the outer molecular layer.
14. The nanoaggregate of any one of the claims 8 to 13, which is present as an emulsion droplet, a micelle, a liposome, a nanoparticle or a nanocapsule.

- 68 -

15. The nanoaggregate of any one of the claims 8 to 14, comprising about 0.1 to about 50 mol% of a camptothecin drug or a derivative thereof.
- 5 16. The nanoaggregate of any one of the claims 8 to 15, further comprising a cryoprotectant which is selected from a sugar or an alcohol or a combination thereof such as trehalose, maltose, sucrose, glucose, lactose, dextran, mannitol or sorbitol.
- 10 17. A pharmaceutical preparation comprising a pharmaceutically effective amount of the composition of any one of the claims 1 to 7 or a colloidal nanoaggregate of any one of the claims 8 to 16 together with a pharmaceutically acceptable carrier, diluent and/or adjuvant.
- 15 18. A method of producing the colloidal nanoaggregate of any one of the claims 8 to 16, comprising the steps of
- a) providing a camptothecin drug, preferably as a salt,
 - b) associating said camptothecin drug in its carboxylate form with
20 a cationic amphiphile having a positive net charge and optionally at least one further amphiphile which has a positive, negative and/or neutral net charge, and
 - c) forming a colloidal nanoaggregate.
- 25 19. The method of claim 18, wherein step b) and c) comprise forming said nanoaggregate by a homogenisation, a lipid film or by a solvent injection procedure.
- 30 20. The use of a pharmaceutical preparation of claim 17 for producing a medicament for treating and/or preventing a disease characterized by enhanced angiogenic activity.